TRANSLATION

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference	FOR FURTHER ACTION	See Form PCT/IPEA/416
Y0430-PCT		
International application No.	International filing date (day/month/year)	Priority date (day/month/year)
PCT/JP2004/016196	26.10.2004	27.10.2003

International Patent Classification (IPC) or national classification and IPC

A61K9/50, A61K47/38, A61K47/32, A61K47/34, A61K47/36

Applicant

YAMANOUCHI PHARMACEUTICAL CO., LTD.

1. This report is the International preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 6 sheets, including this cover sheet.

3. This report is also accompanied by ANNEXES, comprising:

a. ☐ *(sent to the applicant and to the International Bureau)* a total of _____ sheets, as follows:

☐ sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).

☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.

b. ☐ *(sent to the International Bureau only)* a total of (indicate type and number of electronic carrier(s))

_____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

- ☒ Box No. I Basis of the report
- ☐ Box No. II Priority
- ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☐ Box No. VI Certain documents cited
- ☐ Box No. VII Certain defects in the international application
- ☐ Box No. VIII Certain observations on the international application

Date of submission of the demand	Date of completion of this report
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/JP2004/016196

Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ This report is based on translations from the original language into the following language _____, which is the language of a translation furnished for the purposes of:

☐ international search (Rule 12.3 and 23.1(b))

☐ publication of the international application (Rule 12.4)

☐ international preliminary examination (Rule 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

☒ the international application as originally filed/furnished

☐ the description:

pages _____ as originally filed/furnished

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☐ the claims:

nos. _____ as originally filed/furnished

nos.* _____ as amended (together with any statement) under Article 19

nos.* _____ received by this Authority on _____

nos.* _____ received by this Authority on _____

☐ the drawings:

sheets _____ as originally filed/furnished

sheets* _____ received by this Authority on _____

sheets* _____ received by this Authority on _____

☐ a sequence listing and/or any related table(s) -- see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

☐ the description, pages _____

☐ the claims, nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing *(specify)*: _____

☐ any table(s) related to sequence listing *(specify)*: _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

☐ the description, pages _____

☐ the claims, nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing *(specify)*: _____

☐ any table(s) related to sequence listing *(specify)*: _____

* *If item 4 applies, some or all of those sheets may be marked "superseded."*

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/JP2004/016196

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

I. Statement

Novelty (N)	Claims	1-4	YES
	Claims		NO
Inventive step (IS)	Claims		YES
	Claims	1-4	NO
Industrial applicability (IA)	Claims	1-4	YES
	Claims		NO

2. Citations and explanations (Rule 70.7)

Document 1: WO 02/096392 A1 (CIMA LABS INC.), 05 December 2002

Document 2: JP 6-316536 A (McNEIL-PPC, Inc.), 15 November 1994

Document 3: JP 6-219939 A (McNEIL-PPC, Inc.), 09 August 1994

Inventive Step

Claims 1 to 4

Document 1 discloses medicament-containing coated microparticles for masking unpleasant tastes which can be used in orally disintegrating tablets (claim 21), wherein the microparticles that contain a medicament with an unpleasant taste have been coated with a coating that comprises a water-soluble polymer at a proportion of approximately 2% to 20% and a water-insoluble polymer at a proportion of approximately 80% to 98% (claim 1). Therein, document 1 indicates that said microparticles have an average particle diameter of 5 to 280 μm (refer to paragraph [0011]), and that said particles will exhibit an elution rate of approximately 0% to 10% after three minutes and an elution rate of approximately 70% to

95% after 30 minutes, depending on the thickness of the film (examples).

Herein, a comparison of the inventions set forth in claims 1 to 4 of the present application and the inventions disclosed in document 1 demonstrates that the features of the inventions in question are substantially the same, with the exception of the fact that the former inventions contain a water-insoluble polymer at a proportion of "60% or more but less than 80%" and a water-soluble polymer at a proportion of "more than 20% but not more than 40%," whereas the latter invention contains a water-insoluble polymer at a proportion of "80% to 98%" and a water-soluble polymer at a proportion of "2% to 20%."

Prior to the priority date of the present application, however, it is considered to have been common practice for a person skilled in the art of the technical field pertaining to preparations to adjust the composition or the like of a coating agent in an appropriate manner so as to impart desired solubility characteristics thereto, and thus it would have been easy for a person skilled in the art to conceive of optimizing the composition and the film thickness of the coating agent that is disclosed in document 1 in order to impart desired oral disintegration characteristics thereto.

Furthermore, the inventions set forth in claims 1 to 4 of the present application cannot be considered to exhibit a significant effect that would have been impossible for a person skilled in the art to predict in the light of document 1 and well-known prior art.

Meanwhile, document 2 discloses orally disintegrating tablets that contain coated granules for

masking the unpleasant taste of a medicament, wherein said coated granules have been coated with a coating that contains a hydroxypropylcellulose and a cellulose acetate, which is a water-insoluble polymer (claim 1). Furthermore, document 2 indicates that said particles have a size small enough to pass through an approximately 10 to 200 mesh sieve screen (paragraph [0022]), and presents an example wherein the coated granules were coated with a coating that contains the cellulose acetate at a proportion of 70% and the hydroxypropylcellulose at a proportion of 30% (example VIII).

In addition, document 3 discloses orally disintegrating tablets that contain coated granules for masking the unpleasant taste of a medicament, wherein said coated granules have been coated with a coating that contains a polyvinylpyrrolidone and a cellulose acetate or a cellulose acetate butyrate, both of which are water-insoluble polymers (claim 1). Furthermore, document 3 indicates that said coated particles have an average particle diameter of 150 to 400 μm (paragraph [0025]), and presents an example wherein the coated granules are coated with a coating that contains the cellulose acetate at a proportion of 80% or less and the polyvinylpyrrolidone at a proportion of not less than 20% (example II).

A comparison of the invention set forth in claim 1 of the present application and the inventions disclosed in documents 2 and 3 demonstrated that the features of the inventions in question are substantially the same, with the exception of the fact that a rate of elution is delimited for the former inventions, whereas a rate of elution is not delimited for the latter inventions.

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

However, it would have been easy for a person skilled in the art to conceive of optimizing the composition and the film thickness of the coating agent in order to impart desired elution characteristics thereto, as is indicated above.

In addition, it would not have required significant creativity to conceive of using another water-soluble polymer or another water-insoluble polymer therein.

Furthermore, the inventions set forth in claims 1 to 4 of the present application cannot be considered to exhibit a significant effect that would have been impossible for a person skilled in the art to predict in the light of document 2 and/or document 3.